

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

AN 2002:466744 CAPLUS

DN 137:47104

TI Preparation of heteroarylsulfonylureas and related compounds as platelet ADP receptor antagonists

IN Scarborough, Robert M.; Jantzen, Hans-michael; Huang, Wolin; Sedlock, David M.; Marlowe, Charles K.; Kane-Maguire, Kim A.

PA USA

SO U.S. Pat. Appl. Publ., 193 pp., Cont.-in-part of U.S. Ser. No. 755,812. CODEN: USXXCO

DT Patent

LA English

FAN.CNT=2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002077486	A1	20020620	US 2001-920325	20010802
	WO 2001057037	A1	20010809	WO 2001-US3585	20010205

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI	US 2000-180208P	P	20000204
	US 2000-202072P	P	20000505
	US 2000-230447P	P	20000906
	US 2001-755812	A2	20010105
	WO 2001-US3585	A2	20010205

OS MARPAT 137:47104

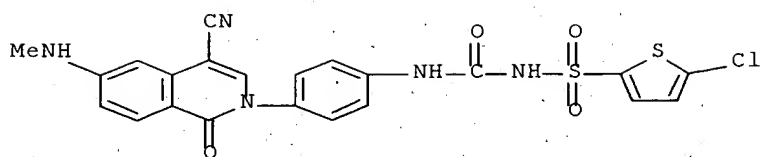
AB DWN(E)C(:Y)NHSO<sub>2</sub>A, DWC(:Y)NHSO<sub>2</sub>A, DWN(E)C(:Y)NHCH<sub>2</sub>A, DWN(E)C(SZ):NSO<sub>2</sub>A, etc.; [A = (substituted) aryl, heteroaryl, alkylaryl, alkylheteroaryl; W = (substituted) aryl, heteroaryl; D = NR<sub>1</sub>COR<sub>2</sub>, OR<sub>1</sub>, specified heteroaryl; E = H, alkyl, polyhaloalkyl, cycloalkyl, alkylaryl, (substituted) aryl, heteroaryl; Z = alkyl; R<sub>1</sub> = H, alkyl, polyhaloalkyl, cycloalkyl, alkylaryl, alkylcarbonyl, (substituted) arylcarbonyl, aryl, heteroaryl, heteroarylcarbonyl; R<sub>2</sub> = (substituted) aryl, heteroaryl; R<sub>1</sub>R<sub>2</sub> = bond, atoms to form a C1-8 chain], were prepd. as inhibitors of ADP-mediated platelet aggregation (no data). Thus, N-(4-amino-2-methylphenyl)-4-chlorophthalimide di-Me N-cyanodithioiminocarbonate were stirred in pyridine at 115.degree. for 8 h to give a residue. The residue was heated with DBU, DMAP, and 5-chlorothiophene-2-sulfonamide in pyridine at 115.degree. for 23 h to give 5-chloro-2-[4-[[[(5-chlorothiophen-2-yl)sulfonyl]amino](cyanoimino)methyl]amino]-2-methylphenyl]benzo[c]azolidine-1,3-dione.

IT 438208-47-0P 438208-48-1P 438208-57-2P  
438208-58-3P 438208-59-4P 438208-60-7P  
438208-61-8P 438208-62-9P 438208-63-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)(claimed compd.; prepn. of heteroarylsulfonylureas and related compds. as platelet ADP receptor antagonists)

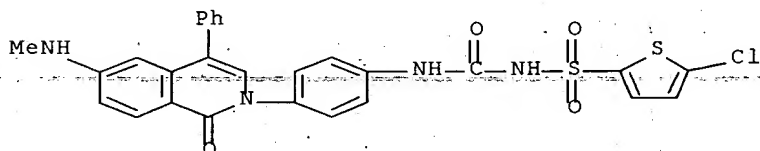
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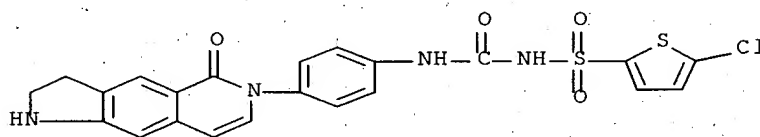
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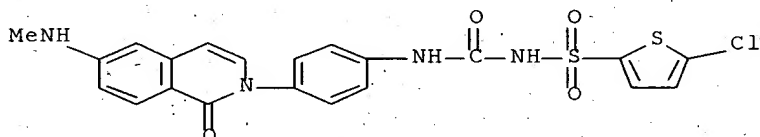
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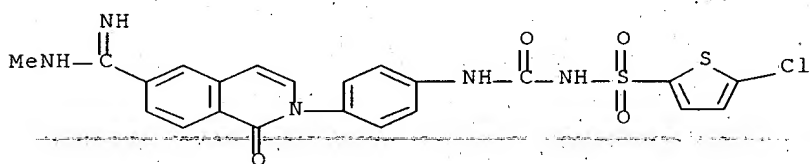
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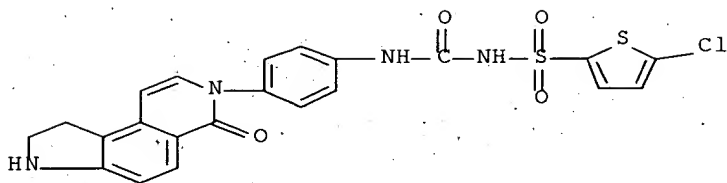
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CN 6-Isoquinolinecarboximidamide, 2-[4-[[[(5-chloro-2-thienyl)sulfonyl]amino]carbonyl]amino]phenyl]-1,2-dihydro-N-methyl-1-oxo- (9CI) (CA INDEX NAME)



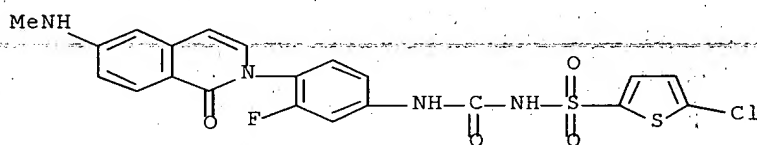
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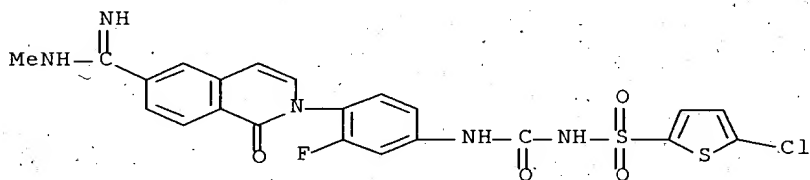
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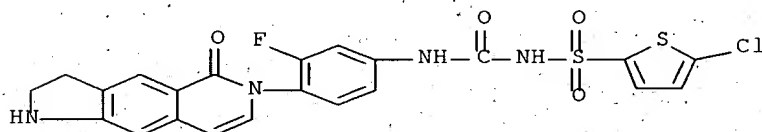
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CN 6-Isoquinolinecarboximidamide, 2-[4-[[[(5-chloro-2-thienyl)sulfonyl]amino]carbonyl]amino]-2-fluorophenyl]-1,2-dihydro-N-methyl-1-oxo- (9CI) (CA INDEX NAME)



RN 438208-63-0 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[[[3-fluoro-4-(1,2,3,5-tetrahydro-5-oxo-6H-pyrrolo[2,3-g]isoquinolin-6-yl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

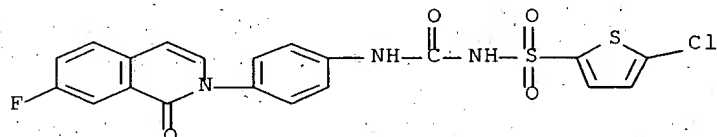


IT 438209-31-5P 438209-40-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of heteroarylsulfonylureas and related compds. as platelet ADP receptor antagonists)

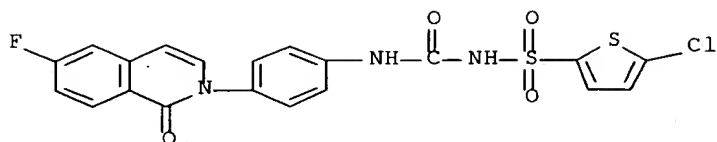
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RN 438209-40-6 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[[[4-(6-fluoro-1-oxo-2(1H)-isoquinolinyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

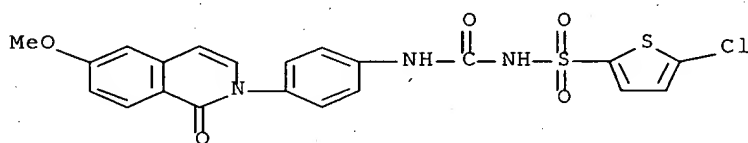


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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heteroarylsulfonylureas and related compds. as platelet ADP receptor antagonists)

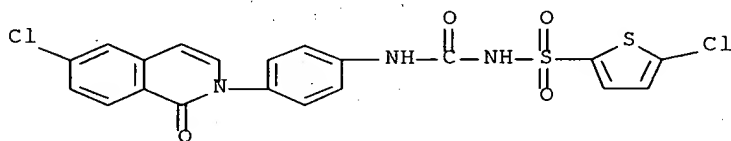
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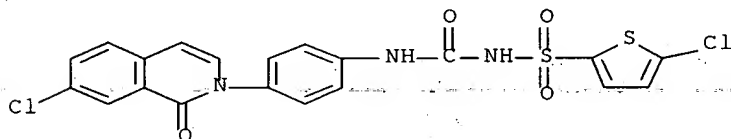
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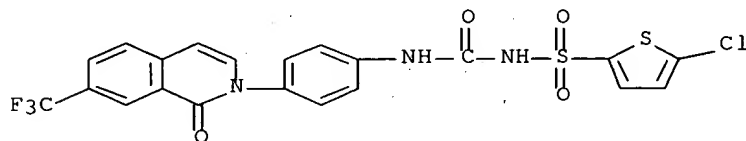
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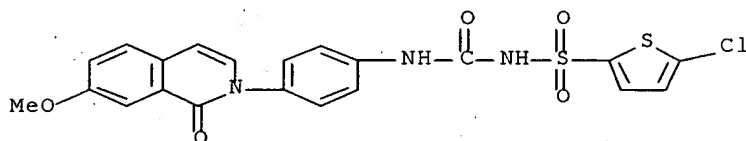
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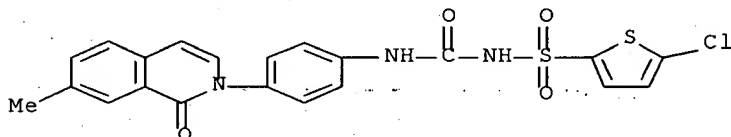
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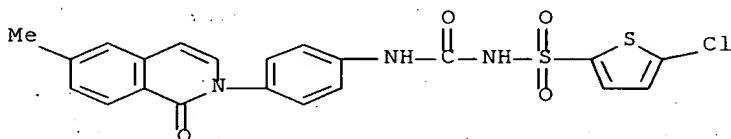
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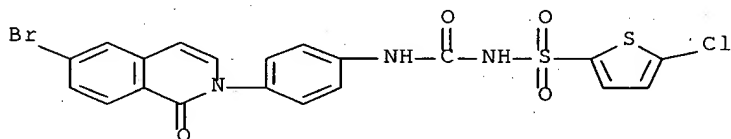
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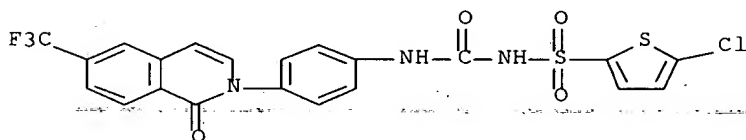
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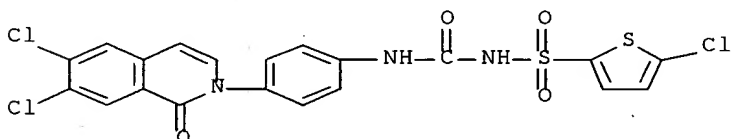
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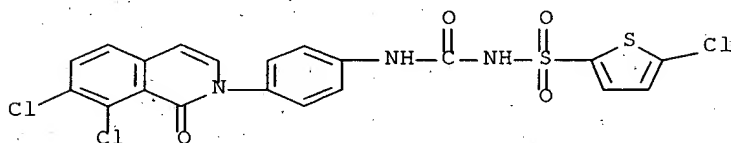
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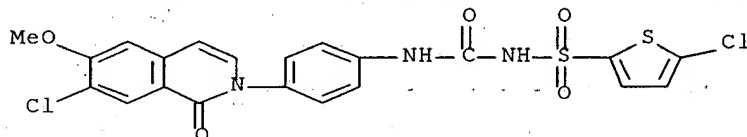
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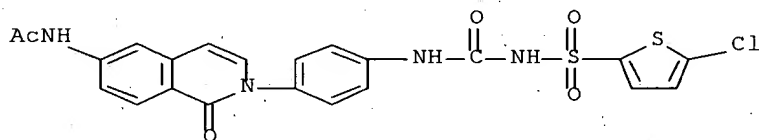
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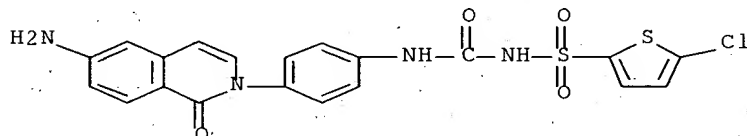
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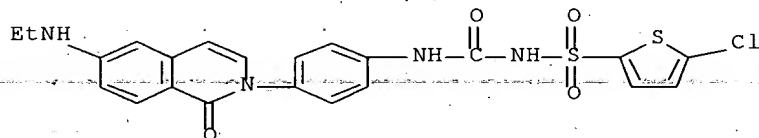
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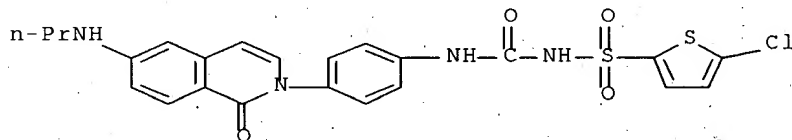
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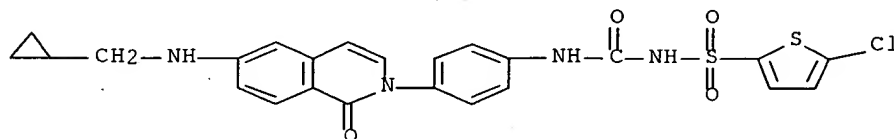
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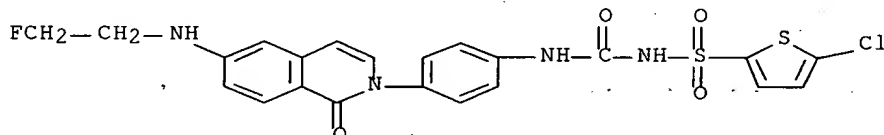
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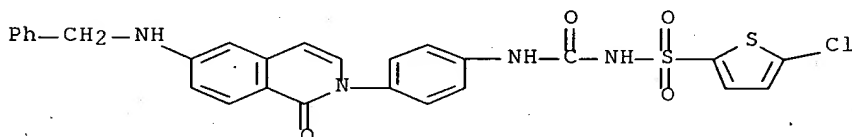
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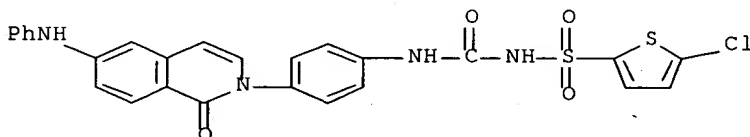
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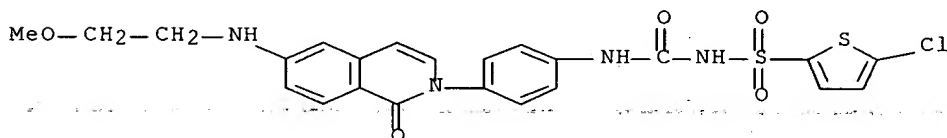
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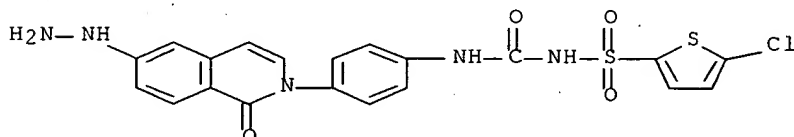
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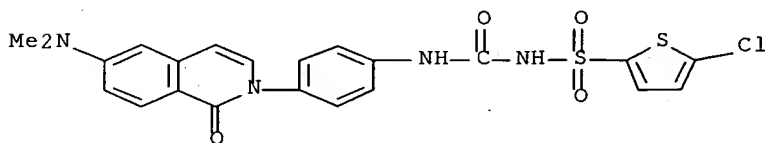
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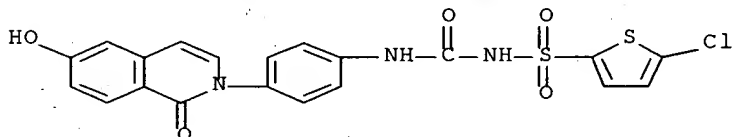
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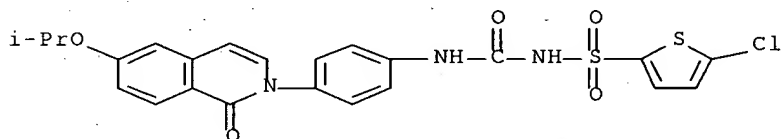
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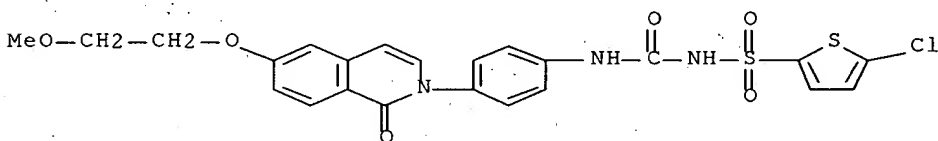
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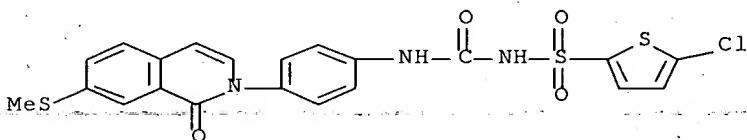
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RN 438209-67-7 CAPLUS

CN 2-Thiophenesulfonamide, 5-chloro-N-[[[4-[7-(methylthio)-1-oxo-2(1H)-isoquinolinyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



IT 438210-20-9P

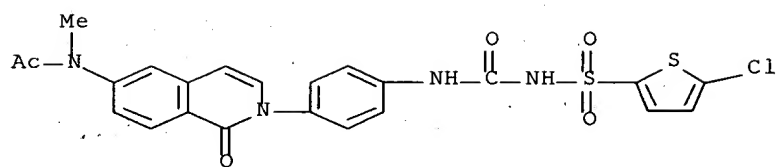
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT(Reactant or reagent)

(prepn. of heteroarylsulfonylureas and related compds. as platelet ADP receptor antagonists)

RN 438210-20-9 CAPLUS

CN Acetamide, N-[2-[4-[[[(5-chloro-2-thienyl)sulfonyl]amino]carbonyl]amino]phenyl]-1,2-dihydro-1-oxo-6-isoquinolinyl]-N-methyl- (9CI) (CA INDEX NAME)





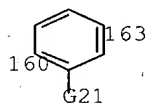
L10 ANSWER 1 OF 2 MARPAT COPYRIGHT 2003 ACS  
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 PA Cor Therapeutics, Inc., USA  
 SO PCT Int. Appl., 44 pp.  
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 DT Patent  
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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001057037	A1	20010809	WO 2001-US3585	20010205
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					AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
	RW:				GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
	US 2002025961	A1	20020228	US 2001-775812	20010205
	EP 1257550	A1	20021120	EP 2001-908817	20010205
	R:				AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
	US 2002077486	A1	20020620	US 2001-920325	20010802
PRAI	US 2000-180208P		20000204		
	US 2000-202072P		20000505		
	US 2000-230447P		20000906		
	US 2001-755812		20010105		
	WO 2001-US3585		20010205		
AB	The invention provides compds. including sulfonylurea derivs., sulfonylthiourea derivs., sulfonylguanidine derivs., sulfonylcyanoguanidine derivs., thioacylsulfonamide derivs., and acylsulfonamide derivs. which are effective platelet ADP receptor inhibitors. These derivs. may be used in various pharmaceutical compns., and are particularly effective for the prevention and/or treatment of cardiovascular diseases, particularly those diseases related to thrombosis. The invention provides a method for preventing or treating thrombosis in a mammal comprising the step of administering a therapeutically effective amt. of a compd. of the invention, or a pharmaceutically acceptable salt thereof.				

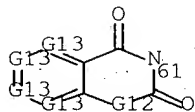
# MSTR 1

G3—G2—G6—G1

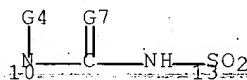
G1 = 2-thienyl  
 G2 = 160-1 163-3



G3 = 61



G6 = 10-2 13-4



G7 = O

G12 = (0-4) CH<sub>2</sub>

MPL: claim 1

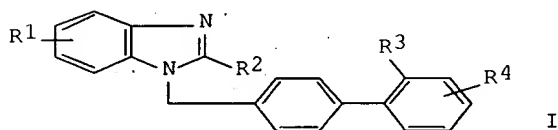
NTE: or pharmaceutically acceptable salts and prodrugs

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 2 MARPAT COPYRIGHT 2003 ACS  
 AN 117:48554 MARPAT  
 TI Preparation of 1-(4-biphenyl)benzimidazoles as angiotensin II antagonists  
 IN Narr, Berthold; Huel, Norbert; Van Meel, Jacques; Wienen, Wolfgang; Entzeroth, Michael; Ries, Uwe  
 PA Thomae, Dr. Karl, G.m.b.H., Germany  
 SO Eur. Pat. Appl., 72 pp.  
 CODEN: EPXXDW  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 468470	A1	19920129	EP 1991-112404	19910722
	EP 468470	B1	19970416		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	DE 4023369	A1	19920130	DE 1990-4023369	19900723
	DE 4031287	A1	19920409	DE 1990-4031287	19901004
	DE 4105324	A1	19920827	DE 1991-4105324	19910220
	SU 1836357	A3	19930823	SU 1991-5001010	19910704
	NO 9102859	A	19920124	NO 1991-2859	19910722
	NO 178927	B	19960325		
	NO 178927	C	19960703		
	CA 2047496	AA	19920124	CA 1991-2047496	19910722
	FI 9103503	A	19920124	FI 1991-3503	19910722
	HU 58298	A2	19920228	HU 1991-2456	19910722
	JP 04253966	A2	19920909	JP 1991-181033	19910722
	JP 2539113	B2	19961002		
	ZA 9105717	A	19930331	ZA 1991-5717	19910722
	AT 151766	E	19970515	AT 1991-112404	19910722
	ES 2100907	T3	19970701	ES 1991-112404	19910722
	AU 9181227	A1	19920130	AU 1991-81227	19910723
	AU 640505	B2	19930826		
	IL 98933	A1	19951231	IL 1991-98933	19910723
	US 5385925	A	19950131	US 1994-220472	19940330
	US 5587393	A	19961224	US 1994-299693	19940901
	US 5684029	A	19971104	US 1996-603773	19960220
PRAI	DE 1990-4023369		19900723		
	DE 1990-4031287		19901004		
	DE 1991-4105324		19910220		
	US 1991-732868		19910719		
	US 1994-220472		19940330		
	US 1994-299693		19940901		

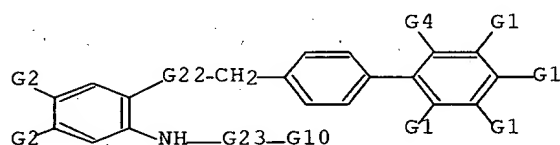
GI



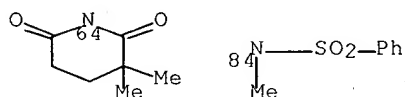
AB Title compds. [I; R1 = tetrahydrobenzimidazolyl, imidazopyridyl, (substituted) benzimidazolyl, benzoxazolyl, etc.; R2 = H, (S-interrupted)alkyl; R3 = carboxy, cyano, tetrazolyl, 1-triphenylmethyltetrazolyl, alkoxycarbonyl; R4 = H, F, Cl, Br], and their isomeric mixts. and salts, were prepd. Thus, 2-propyl-5-(1-

methylbenzimidazol-2-yl)benzimidazole (prepn. from Me 3,4-diaminobenzoate.2HCl given) and tert-Bu 4'-bromomethylbiphenyl-2-carboxylate were stirred 15 h with KOCMe<sub>3</sub> in Me<sub>2</sub>SO to give 70% coupling products, which were treated with CF<sub>3</sub>CO<sub>2</sub>H in CH<sub>2</sub>Cl<sub>2</sub> to give a mixt. of 4'-[[2-propyl-5-(1-methylbenzimidazol-2-yl)benzimidazol-1-yl)methyl]biphenyl-2-carboxylic acid and 4'-[[2-propyl-6-(1-methylbenzimidazol-2-yl)benzimidazol-1-yl)methyl]biphenyl-2-carboxylic acid. I antagonized angiotensin II in rats with pA<sub>2</sub> values of 6.0-7.5. I, at up to 30 mg/kg i.v., were without toxic side effects, e.g., neg. inotropic activity.

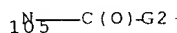
# MSTR 2E



G2 = 64 / 84

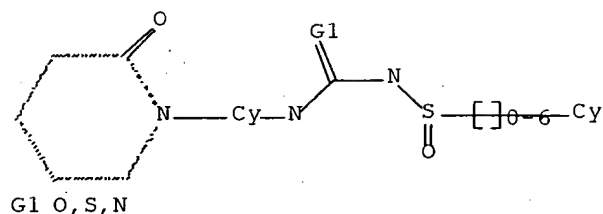


G22 = 105



MPL: claim 9  
NTE: substitution is restricted

=> d l1; d his; log y  
 L1 HAS NO ANSWERS  
 L1 STR



Structure attributes must be viewed using STN Express query preparation.

(FILE 'HOME' ENTERED AT 14:34:23 ON 06 FEB 2003)

FILE 'REGISTRY' ENTERED AT 14:34:31 ON 06 FEB 2003

L1 STRUCTURE UPLOADED  
 L2 3 S L1  
 L3 39 S L1 FUL

FILE 'CAPLUS' ENTERED AT 14:35:37 ON 06 FEB 2003

L4 1 S L3

FILE 'BEILSTEIN' ENTERED AT 14:36:12 ON 06 FEB 2003

L5 0 S L1  
 L6 0 S L1 FUL

FILE 'MARPAT' ENTERED AT 14:36:38 ON 06 FEB 2003

L7 0 S L1  
 L8 5 S L1 FUL  
 L9 3 S L8/COM  
 L10 2 S L9 NOT L4

COST IN U.S. DOLLARS

SINCE FILE ENTRY	TOTAL SESSION
114.21	267.98

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY	TOTAL SESSION
-1.24	-1.89

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 14:38:53 ON 06 FEB 2003